CLAIMS

The subject matter claimed is:

    1.   A composition of matter having a formula represented by
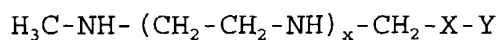
$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-X-Y$$

wherein x is an integer of about 8 to about 1,200, X is a linker, and Y is a residue of a sterol comprising a 3-ol group.

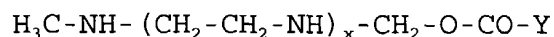   2.   The composition of matter of claim 1 wherein x is about 581.

    3.   The composition of matter of claim 1 wherein X is -O-CO-.

    4.   The composition of matter of claim 1 wherein Y is a cholesterol residue.

    5.   The composition of matter of claim 1 wherein Y is a member selected from the group consisting of residues of cholesterol, cholestanol, coprosterol, epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-dehydrocholesterol, desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-sitosterol, β-sitosterol, γ-sitosterol,

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lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.
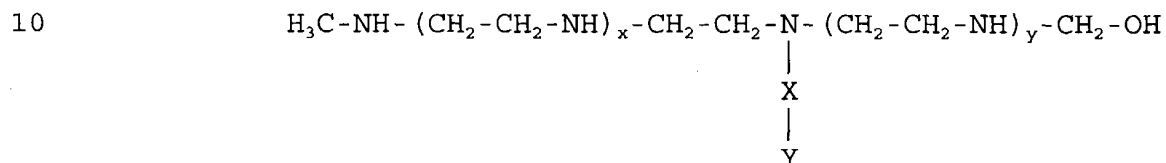
6.   A composition of matter having a formula represented by

$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-O-CO-Y$$

wherein x is an integer of about 8 to about 1,200, and Y is a cholesterol residue.

7.   The composition of matter of claim 6 wherein x is about 581.

8.   A composition of matter having a formula represented by

$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-CH_2-N-(CH_2-CH_2-NH)_y-CH_2-OH$$
$$|$$
$$X$$
$$|$$
$$Y$$

wherein x is an integer of about 0 to about 1,200, y is an integer of about 0 to about 1,200, with the proviso that x + y is about 8 to about 1,200, X is a linker, and Y is a residue of a sterol comprising a 3-ol group.
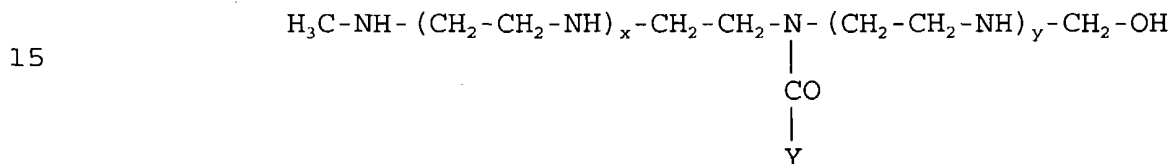
9.   The composition of matter of claim 8 wherein x + y is about 581.

10.   The composition of matter of claim 8 wherein X is -CO-.

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11. The composition of matter of claim 8 wherein Y is a cholesterol residue.

12. The composition of matter of claim 8 wherein Y is a member selected from the group consisting of residues of cholesterol, cholestanol, coprosterol, epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-dehydrocholesterol, desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, $α_1$-sitosterol, β-sitosterol, γ-sitosterol, lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.

13. A composition of matter having a formula represented by

$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-CH_2-N-(CH_2-CH_2-NH)_y-CH_2-OH$$
$$|$$
$$CO$$
$$|$$
$$Y$$

wherein x is an integer of about 0 to about 1,200, y is an integer of about 0 to about 1,200, with the proviso that x + y is about 8 to about 1,200, and Y is a cholesterol residue.

14. The composition of matter of claim 13 wherein x + y is about 581.

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15.   A composition of matter having a formula represented by
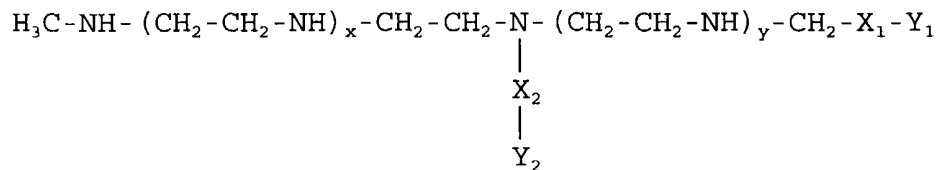
$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-CH_2-N-(CH_2-CH_2-NH)_y-CH_2-X_1-Y_1$$
$$|$$
$$X_2$$
$$|$$
$$Y_2$$

wherein x is an integer of about 0 to about 1,200, y is an

integer of about 0 to about 1,200, with the proviso that x + y is

about 8 to about 1,200, X_1 and X_2 are linkers, and Y_1 and Y_2 are

residues of a sterol comprising a 3-ol group.

16.   The composition of matter of claim 15 wherein x + y is

about 581.

17.   The composition of matter of claim 15 wherein X_1 is

-O-CO- and X_2 is -CO-.

18.   The composition of matter of claim 15 wherein Y_1 and Y_2

are cholesterol residues.

19.   The composition of matter of claim 15 wherein Y_1 and Y_2

are members independently selected from the group consisting of

residues of cholesterol, cholestanol, coprosterol,

epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-

ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol,

stigmasterol, stigmastanol, (3β)-7-dehydrocholesterol,

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desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-sitosterol, β-sitosterol, γ-sitosterol, lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.

20. A composition of matter having a formula represented by
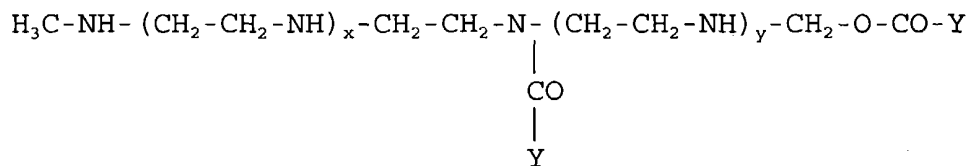
$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-CH_2-N-(CH_2-CH_2-NH)_y-CH_2-O-CO-Y$$
$$|$$
$$CO$$
$$|$$
$$Y$$

wherein x is an integer of about 0 to about 1,200, y is an integer of about 0 to about 1,200, with the proviso that x + y is about 8 to about 1,200, and Y is a cholesterol residue.

21. A complex comprising a mixture of a nucleic acid and a composition of matter having a formula represented by

$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-X-Y$$
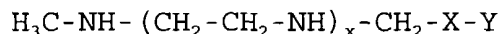
wherein x is an integer of about 8 to about 1,200, X is a linker, and Y is a residue of a sterol comprising a 3-ol group.

22. The complex of claim 21 wherein x is about 581.

23. The complex of claim 21 wherein X is -O-CO-.

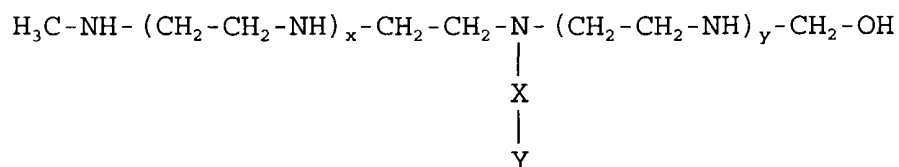24. The complex of claim 21 wherein Y is a cholesterol

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residue.

25. The complex of claim 21 wherein X is -O-CO- and Y is a cholesterol residue.

26. The complex of claim 21 wherein Y is a member selected from the group consisting of residues of cholesterol, cholestanol, coprosterol, epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-dehydrocholesterol, desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-sitosterol, β-sitosterol, γ-sitosterol, lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.

27. The complex of claim 21 wherein the nucleic acid comprises a plasmid.

28. A complex comprising a mixture of a nucleic acid and a composition of matter having a formula represented by:

$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-CH_2-N-(CH_2-CH_2-NH)_y-CH_2-OH$$
$$|$$
$$X$$
$$|$$
$$Y$$

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wherein x is an integer of about 0 to about 1,200, y is an

integer of about 0 to about 1,200, with the proviso that x + y is

about 8 to about 1,200, X is a linker, and Y is a residue of a

sterol comprising a 3-ol group.

5   29.   The complex of claim 28 wherein x + y is about 581.

           30.   The complex of claim 28 wherein X is -CO-.

   31.   The complex of claim 28 wherein Y is a cholesterol

residue.

           32.   The complex of claim 28 wherein X is -CO- and Y is a

10   cholesterol residue.

           33.   The complex of claim 28 wherein Y is a member selected

from the group consisting of residues of cholesterol,

cholestanol, coprosterol, epicholestanol, epicholesterol,

ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol,

15   ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol,

(3β)-7-dehydrocholesterol, desmosterol, allocholesterol, 24-

hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-

sitosterol, β-sitosterol, γ-sitosterol, lumisterol,

pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol,

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and dehydroergosterol.

34. The complex of claim 28 wherein the nucleic acid comprises a plasmid.

34. A complex comprising a mixture of a nucleic acid and a composition of matter having a formula represented by

$$H_3C-NH-(CH_2-CH_2-NH)_x-CH_2-CH_2-\underset{\underset{Y_2}{\overset{|}{\underset{|}{X_2}}}}{N}-(CH_2-CH_2-NH)_y-CH_2-X_1-Y_1$$
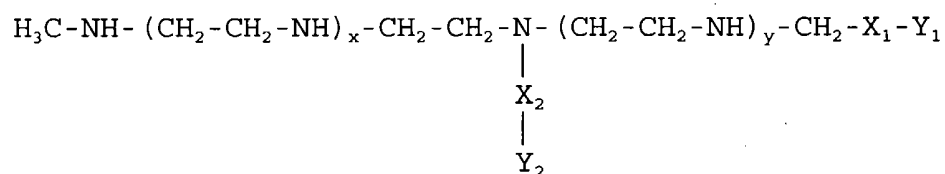
wherein x is an integer of about 0 to about 1,200, y is an integer of about 0 to about 1,200, with the proviso that x + y is about 8 to about 1,200, X_1 and X_2 are linkers, and Y_1 and Y_2 are residues of a sterol comprising a 3-ol group.

35. The complex of claim 34 wherein x + y is about 581.

36. The complex of claim 34 wherein X_1 is -O-CO- and X_2 is -CO-.

37. The complex of claim 34 wherein Y_1 and Y_2 are cholesterol residues.

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38. The complex of claim 34 wherein X_1 is -O-CO-, X_2 is -CO-, and Y_1 and Y_2 are cholesterol residues.

39. The complex of claim 34 wherein Y_1 and Y_2 are members independently selected from the group consisting of residues of cholesterol, cholestanol, coprosterol, epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-dehydrocholesterol, desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-sitosterol, β-sitosterol, γ-sitosterol, lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.

40. The complex of claim 34 wherein the nucleic acid comprises a plasmid.

41. A method of making an L-shaped linear polyethylenimine sterol conjugate comprising reacting a linear polyethylenimine having an average molecular weight of about 423 to about 50,000 and comprising a terminal hydroxyl group with a chloroformate ester of a sterol comprising a 3-ol group, thereby resulting in the L-shaped linear polyethylenimine sterol conjugate comprising the sterol covalently bonded to the terminal hydroxyl group.

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42. The method of claim 41 wherein the sterol is a member selected from the group consisting of cholesterol, cholestanol, coprosterol, epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-dehydrocholesterol, desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-sitosterol, β-sitosterol, γ-sitosterol, lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.

43. The method of claim 41 wherein the sterol comprises cholesterol.

44. A method of making a T-shaped linear polyethylenimine sterol conjugate comprising:

(a) reacting a linear polyethylenimine having an average molecular weight of about 423 to about 50,000 and comprising a terminal hydroxyl group and a plurality of secondary amine nitrogen atoms with a protecting reagent such that the protecting reagent bonds with the terminal hydroxyl group, resulting in a protected linear polyethylenimine;

(b) reacting a chloroformate ester of a sterol comprising a 3-ol group with the protected linear polyethylenimine such that

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the chloroformate ester of a sterol bonds with at least one of
the plurality of secondary amine nitrogen atoms, resulting in a
protected T-shaped linear polyethylenimine sterol conjugate; and

    (c)   deprotecting the protected T-shaped linear
polyethylenimine sterol conjugate with a deprotecting reagent,
resulting in the T-shaped linear polyethylenimine sterol
conjugate.

    45.   The method of claim 44 wherein the sterol is a member
selected from the group consisting of cholesterol, cholestanol,
coprosterol, epicholestanol, epicholesterol, ergostanol, α-
ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-
dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-
dehydrocholesterol, desmosterol, allocholesterol, 24-
hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-
sitosterol, β-sitosterol, γ-sitosterol, lumisterol,
pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol,
and dehydroergosterol.

    46.   The method of claim 44 wherein the sterol comprises
cholesterol.

    47.   The method of claim 44 wherein the protecting reagent
comprises chlorotrimethylsilane and the protected linear

polyethylenimine comprises linear polyethylenimine trimethyl silane.

48. The method of claim 47 wherein the deprotecting reagent comprises trifluoroacetic acid.

5   49. A method of making an LT-shaped linear polyethylenimine sterol conjugate comprising reacting a linear polyethylenimine having an average molecular weight of about 423 to about 50,000 and comprising a terminal hydroxyl group and a plurality of secondary amine nitrogen atoms with a chloroformate ester of a

10   sterol comprising a 3-ol group such that chloroformate ester of a sterol bonds with the terminal hydroxyl group and at least one of the plurality of secondary amine nitrogen atoms, thereby resulting in the LT-shaped linear polyethylenimine sterol conjugate.

15   50. The method of claim 49 wherein the sterol is a member selected from the group consisting of cholesterol, cholestanol, coprosterol, epicholestanol, epicholesterol, ergostanol, α-ergostenol, β-ergostenol, γ-ergostenol, ergosterol, 22,23-dihydroergosterol, stigmasterol, stigmastanol, (3β)-7-

20   dehydrocholesterol, desmosterol, allocholesterol, 24-hydroxycholesterol, 25-hydroxycholesterol, campesterol, α_1-

sitosterol, β-sitosterol, γ-sitosterol, lumisterol, pyrocalciferol, isopyrocalciferol, azacosterol, neoergosterol, and dehydroergosterol.

5   51.   The method of claim 49 wherein the sterol comprises cholesterol.

    52.   A method of delivering a nucleic acid into a mammalian cell comprising:

    (a)   mixing the nucleic acid with an L-shaped, T-shaped, or LT-shaped linear polyethylenimine sterol conjugate to result in a

10   complex;

    (b)   contacting the mammalian cell with the complex such that the complex enters the mammalian cell, thereby delivering the nucleic acid into the mammalian cell.